Editorial

Bioactive Azoles with Three Heteroatoms

This issue is dedicated to scientists belonging to either or both the fields of heterocyclic and medicinal chemistry. Nowadays, pharmaceutical industries are more and more dedicating their research towards different use or applications of the same molecule rather than towards the development of new drugs. In the latter decades, in fact, the time which is necessary since a given molecule is synthesized to when it is approved as a drug has significantly increased. Therefore, the development of time-saving methodologies such as combinatorial chemistry and computationally driven drug design has attracted the interest of several organic and medicinal chemists. Many of the molecules tested *in-vitro* through HTS (High Throughput Screening) belong to the class of commercial or easy to achieve compounds. On the other hand, *in-silico* screened compound are usually taken from chemical structure databases containing millions of "virtual" samples which are stripped down to thousands and then hundreds or tenths, preferring exhisting non-patented molecules over new-to-synthesize targets.

In this context, hidden treasures such as actual compound libraries from academic or research center's synthetic laboratories are often forgotten. This underestimation of the potential (bioactive) value of a given series of non-commercially available compounds is mainly due to the lack of "communication" between chemists interested in new synthetic methodologies or mechanistic investigations and chemists involved in developing new drugs. Such a gap is particularly noticeable by heterocyclic chemists since, of course, many drugs contain a heterocyclic core.

This issue aims, therefore, at tying a few strings between laboratories involved in basic knowledge (*i.e.* Synthesis and Reactivity) and in biological/medicinal application of heterocycles, particularly azoles.

In this context, the contributions in this issue are heterocycle-centered rather than application-centered, which means that for a given class of heterocycles a series of recent developments in various applications will be discussed. This approach will be helpful for both synthetic chemists and pharmaceutical researchers: the former, who is aware of the type of structure he or she is able to obtain, will direct the synthesis towards a given compound for a given application; the latter will be given a panorama of recent applications for each group of structures, opening the way to further development.

As far as single heterocyclic nucleus are concerned, the contributions include triazoles, discussed in Chapter 1, and thiadiazoles, discussed in Chapter 2, while oxadiazoles, particularly 1,2,4-oxadiazoles, were not included since their bioactivity has been recently reviewed. The recent bioactivity of the benzocondensated derivatives of all the three kind of heterocycles mentioned above has been discussed in Chapter 3.

Finally, natural bioactive compounds containing azole moieties have been discussed in Chapter 4. Although many of the azole moieties presented in the latter contribution contain two, rather than three, heteroatoms, the reported structures will give several inputs to the synthetic chemist about which natural framework could be the most appropriate one to insert other isosteric azoles with different electronic properties and potentally new bioactivity.

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